

CLAIMS

1. A compound of general formula I:

5 $r[D^{(nz)}] p[(W_q-S-X-L)^{(my)}]$

formula I

in which D is a therapeutically useful molecule;

10 r is an integer greater than or equal to 1;

 p, n and m may be the same or different, and are independently integers greater than or equal to 1;

 n and m represent the overall magnitude of the charge on the molecules; and

15 z and y are charges, either positive (+) or negative (-), such that when z is positive, y is negative and vice versa;

 and $[(W_q-S-X-L)^{(my)}]$ is a carrier compound, in which

 X is a covalent bond, or is a linker group, selected from 2 to 14 atom spacers, which may be substituted or unsubstituted,

20 branched or linear;

 S is a mono- or oligosaccharide;

 L is a lipidic moiety;

25 W may be absent, or is a 3 to 10 atom alkyl or heteroalkyl spacer, which may be branched or linear, and is substituted with one or more functional groups, each of which is charged or is capable of carrying a charge under physiological conditions; and

 q is 0 when W is absent, or is an integer, which ranges from 3 to the number of hydroxyls available for substitution on the mono- or oligosaccharide.

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2. A compound according to claim 1, in which D is a drug, peptide, protein, nucleic acid, mono- or oligosaccharide, sugar-amino conjugate, sugar-peptide conjugate, pro-drug or drug-like molecule.

5 3. A compound according to claim 1, in which D is a biological molecule.

4. A compound according to claim 1, in which the linker X is attached to the mono- or oligosaccharide S through the glycosidic 10 position.

5. A compound according to claim 1, in which the linker X is attached to the mono- or oligosaccharide S via an O-glycoside, C-glycoside, N-glycoside, S-glycoside, amide, urea, thiourea, 15 carbamate, thiocarbamate, carbonate, ether or ester bond.

6. A compound according to claim 1, in which the linker X is attached to the mono- or oligosaccharide S through a position other than the glycosidic position via an amide, urea, thiourea, 20 carbamate, thiocarbamate, carbonate, ether or ester bond.

7. A compound according to claim 1, in which the linker X is attached to the lipidic moiety L via an amide, ester, ether, imine, carbamate, urea, thiourea, or carbonate linkage.

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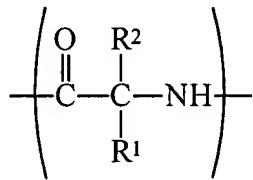
8. A compound according to claim 1, in which W is substituted with one or more functional groups selected from an amidine, guanidinium, carboxylate, tetrazole, hydroxamic acid, hydrazide, amine, sulfate, phosphonate, phosphate and a sulfonate group.

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9. A compound according to claim 1, in which the lipidic moiety L is composed of:

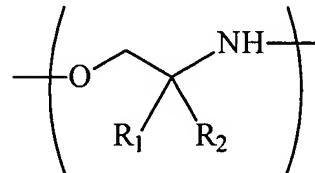
(a) any combination of 1 to 4 lipoamino acids and/or lipoamino alcohols, of general formula IIa or IIb

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IIa



IIb

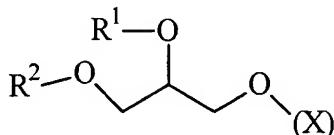
in which each of R¹ and R² may independently be:

(i) hydrogen, or

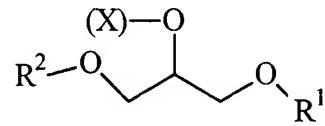
(ii) a linear or branched chain alkyl or alkenyl group having 15 4 to 24 carbon atoms, which may optionally be substituted, provided that the substituents do not significantly adversely affect the lipophilic nature of the group,

with the proviso that both R¹ and R² cannot be hydrogen at the same time;

20 (b) a glycerol-based lipid of general formula IIIa or IIIb

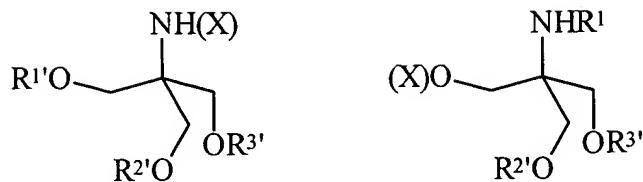


IIIa



IIIb

in which R¹ and R² are as defined in general formula IIa, and 25 X is a linker group, as defined in general formula I; or
(c) a trishydroxymethylmethylamine-based lipid of general formula IVa or IVb



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IVa**IVb**

in which $R^{1''}$, $R^{2''}$ and $R^{3''}$ are independently hydrogen or a linear or branched chain alkyl or alkenyl group having 4 to 24 carbon atoms, or an aryl or arylalkyl group having 6 to 24 carbon atoms, 10 said alkyl, alkenyl, aryl or arylalkyl groups may optionally be substituted, provided that the substituents do not significantly adversely affect the lipophilic nature of the group, and X is as defined in general formula I;

with the proviso that at least one of $R^{1''}$, $R^{2''}$ and $R^{3''}$ must not 15 be hydrogen.

10. A compound according to claim 8, in which the lipidic moiety L contains one or more charged functional groups.

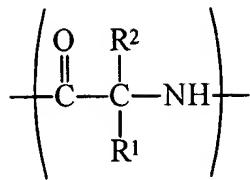
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11. A compound according to claim 10, in which the one or more charged functional groups are selected from the group consisting of amidine, guanidinium, carboxylate, tetrazole, hydroxamic acid, hydrazide, amine, sulfate, phosphonate, phosphate, and sulfonate.

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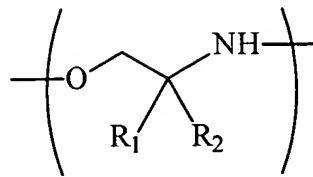
12. A compound according to claim 1, in which the mono- or oligosaccharide S is a mono-, di- or tri-saccharide, and the lipidic moiety is one to three lipoaminoacids of general formula IIa or IIb:

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IIa



IIb

in which each of R^1 and R^2 may independently be:

(i) hydrogen, or

(ii) a linear or branched chain alkyl or alkenyl group having

10 4 to 24 carbon atoms, which may optionally be substituted, provided that the substituents do not significantly adversely affect the lipophilic nature of the group,

with the proviso that both R^1 and R^2 cannot be hydrogen at the same time.

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13. A compound according to claim 1, in which r is greater than p .

14. A compound according to claim 13, in which D is a drug, peptide, protein, nucleic acid, mono- or oligosaccharide, sugar-20 amino conjugate, sugar-peptide conjugate, pro-drug or drug-like molecule.

15. A compound according to claim 13, in which D is a biological molecule.

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16. A compound according to claim 1, in which D is a sulfated oligosaccharide, charged oligosaccharide, sulfated antithrombotic or an aminoglycoside.

17. A compound according to claim 13, in which D is a sulfated oligosaccharide, charged oligosaccharide, sulfated antithrombotic or an aminoglycoside.

5 18. A method of preparing a compound according to claim 1, comprising the step of forming a covalent bond between the mono- or oligosaccharide S and the linker X or the lipid L, in which the bond between S and X is an O-glycoside, C-glycoside, N-glycoside, S-glycosides, amide, urea, thiourea, carbamate, thiocarbamate, 10 carbonate, ether or ester bond, and the bond between X and L is an amide, ester, ether, imine, carbamate, urea, thiourea, or carbonate bond.

15 19. A composition comprising a compound according to claim 1, together with a pharmaceutically-acceptable carrier.

20 20. A method of preparation of a compound according to claim 1, comprising the step of mixing a drug molecule D with [W_q-S-X-L]^(my) in which W, q, S, X, L, m and y are as defined in claim 1 in solution, followed by removal of the solvent(s) to provide a 20 homogeneous mixed salt.

25 21. A method of delivery of a therapeutically useful molecule, comprising the step of administering the molecule to a subject in need of such treatment in the form of a compound according to claim 1.

22. A method according to claim 21, in which the administration is by the oral route.

23. A method of treating or preventing a pathological condition, comprising the step of administering a suitable compound according to claim 1 to a subject in need of such treatment.